AMENDMENTS TO THE CLAIMS

Claims 1 - 49 (Canceled):

Claim 50 (Currently Amended). A compound represented by represented by formula (I-1), or a pharmaceutically acceptable salt thereof:

wherein

A represents a five- to nine-membered unsaturated carbocyclic moiety or a five- to nine-membered unsaturated heterocyclic moiety, and === represents a single bond or a double bond,

the carbocyclic moiety and the heterocyclic moiety represented by A are optionally substituted by

- (a) a halogen atom;
- (b) hydroxyl;
- (c) C_{1-6} alkyl;
- (d) C_{1-6} alkoxy;
- (e) aryl;
- (f) aryloxy;
- (g) arylthio;
- (h) alkylthio;
- (i) nitro;

- (j) amino;
- (k) mono- or di-arylamino;
- (l) mono- or di-1-6 alkylamino;
- (m) C₂₋₆ alkenyl;
- (n) C_{2-6} alkenyloxy;
- (o) C₂₋₆ alkenylthio;
- (p) mono- or di-C₂₋₆ alkenylamino;
- (q) carboxyl; or
- (r) C₁₋₆ alkyl- or aryl-oxycarbonyl;
- (c) the C₁₋₆ alkyl group, (d) the C₁₋₆ alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C₂₋₆ alkenyl group, (n) the C₂₋₆ alkenyloxy group, and (o) the C₂₋₆ alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono-or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl,

the aryl moiety in (k) the mono- or di-arylamino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino

group is optionally substituted by C_{1-6} alkyl, and the aryl group is optionally substituted by halogen, C_{1-6} alkyl, C_{1-6} alkoxy, or C_{1-6} alkylamino, (15) C_{1-6} alkoxy-(CH_2CH_2O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C_{3-7} cycloalkyl, and, in the case of the mono-arylamino group, the amino group is optionally substituted by C_{1-6} alkyl optionally substituted by hydroxyl or a halogen atom,

in (1) the mono- or di- C_{1-6} alkylamino, the di- C_{1-6} alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di- C_{2-6} alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C_{1-6} alkyl optionally substituted by

hydroxyl or a halogen atom, and the di- C₂₋₆ alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, and, when one or two alkenyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

when the carbocyclic moiety and the heterocyclic moiety represented by A are substituted by two (c) C_{1-6} alkyl groups or (m) C_{2-6} alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five to seven-membered carbocyclic ring,

 R^5 represents C_{1-6} alkyl, aryl, C_{1-6} alkoxy, aryloxy, C_{1-6} alkylamino, arylamino, C_{1-6} alkylthio, arylthio, C_{3-7} cycloalkyl, or a heterocyclic group, and the C_{1-6} alkyl, the aryl, the

 C_{1-6} alkoxy, the aryloxy C_{1-6} the alkylamino, the arylamino, the C_{1-6} alkylthio, the arylthio, the C_{3-7} cycloalkyl, or the heterocyclic group represented by R^5 is optionally substituted by (I) a halogen atom;

(II) C_{1-6} alkyl optionally containing a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C_{1-6} alkoxy, (5) C_{1-6} alkylthio, (6) C_{1-6} alkylsulfinyl, (7) C₁₋₆ alkylsulfonyl, (8) mono- or di C₁₋₆ alkylamino, (8') amino substituted by a heterocyclic group optionally substituted by C₁₋₆ alkyl, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆ alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C_{1-6} alkyl- or aryl-sulfonylamino, (18) C_{1-6} alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₅ alkylamino- or arylaminocarbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S(=O)j wherein Het represents a heterocyclic group, j is 0, 1, or 2, and the Het is optionally substituted by alkyl optionally substituted by mono- or di- C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl, (24) cyano, and (25) a halogen atom, wherein the alkyl moiety in (4) the C_{1-6} alkoxy group, (5) the C_{1-6} alkylthio group, (6) the C_{1-6} alkylsulfinyl group, and (7) the C_{1-6} alkylsulfonyl group is optionally substituted by a halogen atom; C_{1-6} alkyl; C_{1-6} alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms; aryloxy; arylthio; hydroxyl; carboxyl; $-S(=O)_2(-OH)$; C_{1-6} alkoxy- or aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl; or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3

heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxy, and

in (8) the mono- or di-C₁₋₆ alkylamino group, the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoyimethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH2)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

(III) C₁₋₆ alkoxy optionally substituted by a halogen atom;

```
(IV) C<sub>1-6</sub> alkylthio optionally substituted by a halogen atom;
       (V) C<sub>3-7</sub> cycloalkyl;
        (VI) aryl;
       (VII) aryloxy;
        (VIII) C_{1-6} alkylcarbonylamino;
        (VIX) C<sub>1-6</sub> alkylcarbonyloxy;
        (X) hydroxyl;
        (XI) nitro;
        (XII) cyano;
        (XIII) amino;
        (XIV) mono or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino group may form
cyclic amino optionally containing 1 to 3 heteroatoms;
        (XV) arylamino;
        (XVI) C<sub>1-6</sub> alkyl- or aryl-sulfonylamino;
        (XVII) C<sub>1-6</sub> alkyl- or aryl-ureido;
        (XVIII) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino;
        (XIX) C<sub>1-6</sub> alkylamino- or arylamino-carbonyloxy;
        (XX) C_{1-6} alkoxy- or aryloxy-carbonyl;
        (XXI) acyl;
        (XXII) carboxyl;
        (XXIII) carbamoyl;
        (XXIV) mono- or di-alkylcarbamoyl;
        (XXV) a heterocyclic group;
         (XXVI) alkyl- or aryl-sulfonyl;
```

(XXVII) C₂₋₆ alkenyloxy group; or

(XXVIII) C₂₋₆ alkenyloxy,

Z represents group (A), group (B), or group (C):

$$R^{6}$$
 R^{7}
 R^{17}
 R^{6}
 R^{7}
 R^{17}
 R^{6}
 R^{7}
 R^{17}
 R^{17}
 R^{17}
 R^{17}
 R^{17}

wherein

 R^6 and R^7 , which may be the same or different, represent a hydrogen atom, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkenyl, aryl, aryl C_{1-6} alkyl, aryl C_{2-6} alkenyl, or a heterocyclic group, with the proviso that R^6 and R^7 do not represent a hydrogen atom simultaneously, and the C_{1-6} alkyl, the aryl, the aryl C_{1-6} alkyl, the aryl C_{2-6} alkenyl, and the heterocyclic groups, which may be the same or different, are optionally substituted by.

(I) a halogen atom;

(II) C_{1-6} alkyl optionally having a substituent selected from a group consisting of(1) hydroxyl, (2) thiol, (3) amino, (4) C_{1-6} alkoxy, (5) C_{1-6} alkylthio optionally substituted by hydroxyl, (6) C_{1-6} alkylsulfinyl, (7) C_{1-6} alkylsulfonyl, (8) mono- or di- C_{1-6} alkylamino in

which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆ alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C₁₋₈ alkyl- or aryl-sulfonylamino, (18) C₁₋₆ alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₆ alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S- wherein Het represents a heterocyclic group, (24) cyano, (25) a halogen atom, and (26) C₁₋₆ alkyl- or aryl-oxycarbonyl;

(III) C₁₋₆ alkoxy optionally having a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio optionally substituted by hydroxyl, (6) C₁₋₆ alkylsulfinyl, (7) C₁₋₆ alkylsulfonyl, (8) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆ alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C₁₋₆ alkyl- or aryl-sulfonylamino, (18) C₁₋₈ alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₆ alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (24) cyano, (25) a halogen atom, and (26) C₁₋₆ alkyl-or aryl-oxycarbonyl;

(IV) C₁₋₆ alkylthio optionally substituted by a halogen atom;

(V) C₃₋₇ cycloalkyl;

(VI) aryl;

(VII) aryloxy;

(VIII) C₁₋₆ alkylcarbonylamino;

(VIX) C_{1-6} alkylcarbonyloxy;

(X) hydroxyl;

```
(XI) nitro;
        (XII) cyano;
        (XIII) amino;
        (XIV) mono- or di-C<sub>1-6</sub> alkylamino in which the di-C<sub>1-6</sub> alkylamino may form cyclic
amino optionally containing 1 to 3 heteroatoms;
        (XV) arylamino;
        (XVI) C<sub>1-6</sub> alkyl- or aryl-sulfonylamino;
        (XVII) C<sub>1-6</sub> alkyl- or aryl-ureido;
        (XVIII) C<sub>1-6</sub> alkoxy- or aryloxy-carbonylamino;
        (XIX) C<sub>1-6</sub> alkylamino- or arylamino-carbonyloxy;
        (XX) C_{1-6} alkoxy- or aryloxy-carbonyl;
        (XXI) aryl;
        (XXII) carboxyl;
        (XXIII) carbamoyl;
        (XXIV) mono- or di-alkylcarbamoyl;
        (XXV) a heterocyclic group;
        (XXVI) alkyl- or aryl-sulfonyl;
         (XXVII) C<sub>2-6</sub> alkenyloxy; or
        (XXVIII) C2-6 alkynyloxy,
        R<sup>17</sup> represents a hydrogen atom.
```

Claim 51 (Currently Amended): The compound according to claim 50, wherein A represents formula (lla) or formula (lla'):

Application Serial No. 10/550,857 Reply to Office Action mailed November 27, 2009

$$R^{2}$$
 R^{3}
 R^{4}
(IIa)
 R^{2}
 R^{1}
 R^{2}
 R^{3}
(IIa')

wherein R¹, R², R³, and R⁴, which may be the same or different, represent

- (a) a halogen atom;
- (b) hydroxyl;
- (c) C₁₋₆ alkyl;
- (d) C₁₋₆ alkoxy;
- (e) aryl;
- (f) aryloxy;
- (g) arylthio;
- (h) alkylthio;
- (i) nitro;
- (j) amino;
- (k) mono- or di-arylamino;
- (l) mono- or di-C₁₋₆ alkylamino;
- (m) C₂₋₆ alkenyl;
- (n) C₂₋₆ alkenyloxy;
- (o)C₂₋₆ alkenylthio;

- (p) mono- or di-C₂₋₆ alkenylamino;
- (q) carboxyl;
- (r) C₁₋₆ alkyl- or aryl-oxycarbonyl; or
- (s) a hydrogen atom,
- (c) the C₁₋₆ alkyl group, (d) the C₁₋₆ alkoxy group, (e) the aryl group, (f) the aryloxy group, (g) the arylthio group, (h) the alkylthio group, (m) the C₂₋₆ alkenyl group, (n) the C₂₋₆ alkenyloxy group, and (o) the C₂₋₆ alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl,

the aryl moiety in (k) the mono- or di-arylamino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl, and, in the case of the mono-arylamino group, the amino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom,

in (l) the mono- or di- C_{1-6} alkylamino, the di- C_{1-6} alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di- C_{2-6} alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C_{1-6} alkyl optionally substituted by hydroxyl or a halogen atom, and the di- C_{2-6} alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety is optionally substituted by a halogen atom; C_{1-6} alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic group optionally substituted by a

halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C_{1-6} alkyl groups, they together may form C_{3-7} cycloalkyl; C_{1-6} alkoxy; C_{1-6} alkylthio; mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C_{1-6} alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C_{1-6} alkyl; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

when two of R^1 , R^2 , R^3 , and R^4 are (c) C_{1-6} alkyl groups or (m) C_{2-6} alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents optionally substituted C_{5.7} cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and the C_{5.7} cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R⁵ is optionally substituted by (I), (II), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A), group (B), or group (C):

Application Serial No. 10/550,857 Reply to Office Action mailed November 27, 2009

$$\begin{array}{c|c}
R^{6} & R^{7} \\
\hline
R^{7} & R^{17} \\
\hline
NH & (B) \\
\hline
R^{6} & R^{17} \\
\hline
R^{6} & R^{17} \\
\hline
(C) & (C)
\end{array}$$

wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 52 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa):

$$R^{2}$$
 R^{3}
 R^{4}
(IIa)

wherein R¹, R², R³, and R⁴, which may be the same or different, represent

- (a) a halogen atom;
- (b) hydroxyl;

16

- (c) C_{1-6} alkyl; (d) C_{1-6} alkoxy; (e) aryl; (f) aryloxy; (g) arylthio; (h) alkylthio; (i) nitro; (j) amino; (k) mono- or di-arylamino; (1) mono- or di-C₁₋₆ alkylamino; (m) C_{2-6} alkenyl; (n) C_{2-6} alkenyloxy; (o) C_{2-6} alkenylthio; (p) mono- or di-C₂₋₆ alkenylamino; (q) carboxyl; (r) C₁₋₆ alkyl- or aryl-oxycarbonyl; or (s) a hydrogen atom, (c) the C₁₋₆ alkyl group, (d) the C₁₋₆ alkoxy group, (e) the aryl group, (f) the aryloxy
- group, (g) the arylthio group, (h) the alkylthio group, (m) the C₂₋₆ alkenyl group, (n) the C₂₋₆ alkenyloxy group, and (o) the C₂₋₆ alkenylthio group are optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino

group is optionally substituted by C_{1-6} alkyl, and the aryl group is optionally substituted by halogen, C_{1-6} alkyl, C_{1-6} alkoxy, or C_{1-6} alkylamino, (15) C_{1-6} alkoxy-(CH_2CH_2O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C_{3-7} cycloalkyl,

the aryl moiety in (k) the mono- or di-arylamino group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino, (15) C₁₋₆ alkoxy-(CH₂CH₂O)_m wherein m is an integer of 1 to 6, (16) carboxyl, (17) an oxygen atom (=O), or (18) C₃₋₇ cycloalkyl, and, in the case of the mono-arylamino group, the amino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom,

in (l) the mono- or di-C₁₋₆ alkylamino, the di-C₁₋₆ alkyl group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, or aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the

amino group is optionally substituted by C_{1-6} alkyl; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

in (p) the mono- or di-C₂₋₆ alkenylamino group, the amino group of the monoalkenylamino group is optionally substituted by C₁₋₆ alkyl optionally substituted by hydroxyl or a halogen atom, and the di-C₂₋₆ alkenyl together may form unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkenyl groups on the amino group or the unsaturated cyclic amino moiety is optionally substituted by a halogen atom; C₁. 6 alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two C₁₋₆ alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl or a halogen atom; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl;

carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group,

when two of R^1 , R^2 , R^3 , and R^4 are (c) C_{1-6} alkyl groups or (m) C_{2-6} alkenyl groups, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to -C(=O)-NH(-Z)

---- represents a double bond,

R⁵ represents optionally substituted C₅₋₇ cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and the C₅₋₇ cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R⁵ is optionally substituted by (I), (II), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXIII), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) or group (B):

$$\begin{array}{c|c}
R^6 & R^7 \\
N & (A)
\end{array}$$

$$\begin{array}{c|c}
R^7 & (B)
\end{array}$$

$$\begin{array}{c|c}
R^6 & R^{17} & (B)
\end{array}$$

wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 53 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb):

$$R^{31}$$
 $*$ (IIb)

wherein R³¹ and R³², which may be the same or different, represent a hydrogen atom; a halogen atom; or C₁₋₆ alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by a halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino; or C₂₋₆ alkenyl,

when R^{31} and R^{32} represent C_{1-6} alkyl or C_{2-6} alkenyl, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents optionally substituted C₅₋₇ cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and the C₅₋₇ cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R⁵ is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) or group (B):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$
(B)

wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 54 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc):

$$R^{34}$$
 R^{34} (IIc)

wherein R³³ and R³⁴, which may be the same or different, represent a hydrogen atom; a halogen atom; or C₁₋₆ alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino

group is optionally substituted by C_{1-6} alkyl, and the aryl group is optionally substituted by a halogen, C_{1-6} alkyl, C_{1-6} alkoxy, or C_{1-6} alkylamino; or C_{2-6} alkenyl,

when R^{33} and R^{34} represent C_{1-6} alkyl or C_{2-6} alkenyl, the alkyl or the alkenyl groups together with the carbon atoms to which they are respectively attached may form an unsaturated five- to seven-membered carbocyclic ring, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents optionally substituted C₅₋₇ cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and the C₅₋₇ cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R⁵ is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) or group (B):

$$R^6 \longrightarrow R^7$$
 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$
(B)

wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 55 (Currently Amended): The compound according to claim 50, wherein A represents formula (IId):

wherein R³⁵ and R³⁶, which may be the same or different, represent a hydrogen atom; a halogen atom; or C₁₋₆ alkyl in which the alkyl group is optionally substituted by (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfonyl, (7) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, (8) aryloxy, (9) arylthio, (10) arylsulfonyl, (11) aryl, (12) a heterocyclic group, (13) a halogen atom, or (14) arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl, and the aryl group is optionally substituted by a halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, or C₁₋₆ alkylamino; or C₂₋₆ alkenyl, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents optionally substituted C₅₋₇ cycloalkyl, optionally substituted aryl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and the C₅₋₇ cycloalkyl, aryl, or saturated or unsaturated five- or six-membered heterocyclic group represented by R⁵ is optionally substituted by (I), (II), (III), (IV), (V), (VI), (VII), (VIII), (IX), (X), (XI), (XII), (XIII), (XIV), (XV), (XVI), (XVII), (XVIII), (XIX), (XX), (XXI), (XXIII), (XXIV), (XXV), (XXVI), or (XXVII),

Z represents group (A) or group (B):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$
(B)

wherein R^6 represents a hydrogen atom or C_{1-6} alkyl, R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five- or six-membered heterocyclic group, and R^{17} represents a hydrogen atom.

Claim 56 (Previously Presented): The compound according to claim 51, wherein R⁵ represents formula (IIIa), formula (IIIb), or formula (IIIc)

$$\begin{array}{c}
R^{12} \\
\downarrow \\
M \\
\downarrow \\
R^{8}
\end{array}$$

$$\begin{array}{c}
R^{11} \\
\downarrow \\
R^{10} \\
R^{9}
\end{array}$$
(IIIa)

25

$$R^{10}$$
 $E-R^{9}$
(IIIc)

wherein

D, E, J, L, and M, which may be the same or different, represent a carbon or nitrogen atom,

G represents an oxygen or sulfur atom,

R⁸, R⁹, R¹⁰, R¹¹, and R¹², which may be the same or different, represent

(I) a halogen atom;

(II) C₁₋₆ alkyl optionally containing a substituent selected from the group consisting of (1) hydroxyl, (2) thiol, (3) amino, (4) C₁₋₆ alkoxy, (5) C₁₋₆ alkylthio, (6) C₁₋₆ alkylsulfinyl, (7) C₁₋₆ alkylsulfonyl, (8) mono- or di-C₁₋₆ alkylamino, (8') amino substituted by a heterocyclic group optionally substituted by C₁₋₆ alkyl, (9) C₁₋₆ alkylcarbonyloxy, (10) C₁₋₆ alkylcarbonylthio, (11) C₁₋₆ alkylcarbonylamino, (12) aryloxy, (13) arylthio, (14) arylsulfinyl, (15) arylsulfonyl, (16) arylamino, (17) C₁₋₆ alkyl- or aryl-sulfonylamino, (18) C₁₋₆ alkyl- or aryl-ureido, (19) C₁₋₆ alkoxy- or aryloxy-carbonylamino, (20) C₁₋₆ alkylamino- or arylamino-carbonyloxy, (21) carboxyl, (22) nitro, (23) a heterocyclic group, (23') Het-S(=O)j- wherein the Het represents a heterocyclic group, j is 0, 1, or 2, and Het is optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl, (24) cyano, and (25) a halogen atom,

26

wherein the alkyl moiety in (4) the C₁₋₆ alkoxy group, (5) the C₁₋₆ alkylthio group, (6) the C₁₋₆ alkylsulfinyl group, and (7) the C₁₋₆ alkylsulfonyl group is optionally substituted by a hydrogen atom; a halogen atom; C₁₋₆ alkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms; aryloxy; arylthio; hydroxyl; carboxyl; -S(=O)₂(-OH); C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl; or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxy, and

in (8) the mono- or di-C₁₋₆ alkylamino group, the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; aryloxy;

arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

```
(III) C<sub>1-6</sub> alkoxy optionally substituted by a halogen atom;
```

(IV) C₁₋₆ alkylthio optionally substituted by a halogen atom;

(V) C₃₋₇ cycloalkyl;

(VI) aryl;

(VII) aryloxy;

(VIII) C₁₋₆ alkylcarbonylamino;

(VIX) C₁₋₆ alkylcarbonyloxy;

(X) hydroxyl;

(XI) nitro;

(XII) cyano;

(XIII) amino;

(XIV) mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms;

(XV) arylamino;

(XVI) C_{1-6} alkyl- or aryl-sulfonylamino;

(XVII) C₁₋₆ alkyl- or aryl-ureido;

(XVIII) C₁₋₆ alkoxy- or aryloxy-carbonylamino;

(XIX) C₁₋₆ alkylamino- or arylamino-carbonyloxy;

(XX) C_{1-6} alkoxy- or aryloxy-carbonyl;

(XXI) acyl;

(XXII) carboxyl;

(XXIII) carbamoyl;

(XXIV) mono- or di-alkylcarbamoyl;

(XXV) a heterocyclic group;

(XXVI) alkyl- or aryl-sulfonyl;

(XXVII) C₂₋₆ alkenyloxy;

(XXVIII) C₂₋₆ alkynyloxy; or

(XXIX) a hydrogen atom, and

when D, E, J, L, or M represents a nitrogen atom, R^8 , R^9 , R^{10} , R^{11} , and R^{12} each are absent, or otherwise may combine with a nitrogen atom to form N-oxide (N \rightarrow O).

Claim 57 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa'):

$$R^{2}$$
 R^{3}
 R^{4}
(IIa)

$$R^2$$
 * (IIa')

wherein

- (1) R¹, R², R³, and R⁴ represent a hydrogen atom,
- (2) R^1 and R^4 represent a hydrogen atom, any one of R^2 and R^3 represents a halogen atom; hydroxyl; optionally substituted C_{1-6} alkyl; optionally substituted C_{1-6} alkoxy; optionally substituted mono- or di-arylamino; optionally substituted mono- or di- C_{1-6} alkylamino in which the dialkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms; or optionally substituted mono- or di- C_{2-6} alkenylamino in which the di- C_{2-6} alkenylamino group together may form optionally substituted unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom,
- (3) R^1 and R^4 represent a hydrogen atom, and R^2 and R^3 , which may be the same or different, represent a halogen atom; hydroxyl; optionally substituted C_{1-6} alkyl; or optionally substituted C_{1-6} alkoxy,
- (4) R¹ and R⁴ represent a hydrogen atom, and R² and R³ together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring,
- (5) R^1 and R^4 represent a hydrogen atom, any one of R^2 and R^3 represents optionally substituted mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom, or
- (6) R^1 and R^4 represent a hydrogen atom, any one of R^2 and R^3 represents optionally substituted C_{1-6} alkoxy, and the other represents a hydrogen atom, and
 - * represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIa)

$$\begin{array}{c}
R^{12} \\
\downarrow \\
M \\
\downarrow \\
R^{11}
\end{array}$$
(IIIa)
$$\begin{array}{c}
R^{8} \\
\downarrow \\
R^{9}
\end{array}$$

wherein

- (i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} may be the same or different and represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom, or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (iii) D, E, J, L, and M represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, any one of R¹⁰ and R¹¹ represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may

form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N < X2-R^{14}$$
 $X3-R^{15}$
(V)

wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{14} and R^{15} , which may be the same or different, represent a hydrogen atom; a halogen atom; C_{1-6} alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C_{1-6} alkyl groups, they together may form C_{3-7} cycloalkyl; C_{1-6} alkylamino in which the di- C_{1-6} alkylamino

group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylamino group may form cyclic amino

optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom, or

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, and one of R¹⁰ and R¹¹ represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl

groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
(V)

wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or

aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R^{14} represents a hydrogen atom, or when X3 represents a bond, R^{15} represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic

carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$
(B)

$$R^{6} \bigvee_{O}^{R^{7}} R^{17}$$
 (C)

wherein

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 58 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIa) or formula (IIa')

$$R^2$$
 R^3
 R^4
(IIa)

$$R^2$$
 * (IIa')

- (1) R¹, R², R³, and R⁴ represent a hydrogen atom,
- (2) R¹ and R⁴ represent a hydrogen atom, any one of R² and R³ represents a halogen atom; hydroxyl; optionally substituted C₁₋₆ alkyl; optionally substituted C₁₋₆ alkoxy; optionally substituted mono- or di-arylamino; optionally substituted mono- or di-C₁₋₆ alkylamino in which the dialkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms; or optionally substituted mono- or di-C₂₋₆ alkenylamino in which the di-C₂₋₆ alkenylamino group together may form optionally substituted unsaturated cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom,
- (3) R^1 and R^4 represent a hydrogen atom, and R^2 and R^3 , which may be the same or different, represent a halogen atom; hydroxyl; optionally substituted C_{1-6} alkyl; or optionally substituted C_{1-6} alkoxy,

38

- (4) R¹ and R⁴ represent a hydrogen atom, and R² and R³ together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring,
- (5) R^1 and R^4 represent a hydrogen atom, any one of R^2 and R^3 represents optionally substituted mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino together may form optionally substituted cyclic amino optionally containing 1 to 3 heteroatoms, and the other represents a hydrogen atom, or
- (6) R^1 and R^4 represent a hydrogen atom, any one of R^2 and R^3 represents optionally substituted C_{1-6} alkoxy, and the other represents a hydrogen atom, and
 - * represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIb) or formula (IIIc)

wherein

(i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R⁸, R⁹, and R¹⁰, which may be the same or different, represent a halogen atom;

hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or

(ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R⁸, R⁹, and R¹⁰ represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
 (V)

wherein wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C_{1-6} alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C_{1-6} alkyl; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R15 represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in

addition to the nitrogen atom, to which R14 and R15 are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):

$$\mathbb{R}^6$$
 \mathbb{R}^7 (A)

Application Serial No. 10/550,857 Reply to Office Action mailed November 27, 2009

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$

$$R^{6} \xrightarrow{R^{7}} R^{17}$$
 (C)

wherein

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 59 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb)

$$R^{31}$$
 * (IIb)

wherein

(i) R³¹ and R³² represent a hydrogen atom,

- (ii) any one of R^{31} and R^{32} represents a hydrogen atom, and the other represents C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,
- (iii) R^{31} and R^{32} , which may be the same or different, represent C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or
- (iv) R³¹ and R³² together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and
 - * represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIa)

$$\begin{array}{c}
R^{12} \\
\downarrow \\
M \\
\downarrow \\
R^{11}
\end{array}$$

$$\begin{array}{c}
R^{11} \\
\downarrow \\
R^{10} \\
R^{9}
\end{array}$$
(IIIa)

wherein

- (i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R⁸, R⁹, R¹⁰, R¹¹, and R¹² may be the same or different and represent a halogen atom; hydroxymethyl; C₁₋₆ alkyl optionally substituted by a

halogen atom, or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,

(iii) D, E, J, L, and M represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, any one of R¹⁰ and R¹¹ represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
(V)

wherein wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R14 represents a hydrogen atom, or when X3 represents a bond, R15 represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in

addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C_{1-6} alkyl groups, they together may form C_{3-7} cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, and one of R¹⁰ and R¹¹ represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹³ represents a hydrogen atom, a halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, -S(=O)₂(-OH), C₁₋₆ alkoxy- or aryloxy-carbonyl, C₁₋₆ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
(V)

wherein wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{14} and R^{15} , which may be the same or different, represent a hydrogen atom; a halogen atom; C_{1-6} alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic

group optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C_{1-6} alkyl groups, they together may form C_{3-7} cycloalkyl; C_{1-6} alkoxy; C_{1-6} alkylthio; mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C_{1-6} alkyl; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, C_{1-6} alkylcarbonyl; aryloxyl represents a hydrogen atom, or when X3 represents a bond, C_{1-6} alkylcarbonyl atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form

cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C_{1-6} alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH_2)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$

$$R^{6} \downarrow R^{17}$$

$$Q \qquad (C)$$

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 60 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIb)

$$R^{31}$$
 $*$ (IIb)

wherein

- (i) R^{31} and R^{32} represent a hydrogen atom,
- (ii) any one of R^{31} and R^{32} represents a hydrogen atom, and the other represents C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,
- (iii) R^{31} and R^{32} , which may be the same or different, represent C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or
- (iv) R³¹ and R³² together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and
 - * represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIb) or formula (IIIc)

$$R^{10}$$
 $E-R^{9}$
(IIIc)

wherein

- (i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R^8 , R^9 , and R^{10} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or
- (ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R^8 , R^9 , and R^{10} represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
(V)

wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{14} and R^{15} , which may be the same or different, represent a hydrogen atom; a halogen atom; C_{1-6} alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C_{1-6} alkyl groups, they together may form C_{3-7} cycloalkyl; C_{1-6} alkylthio; mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino

group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylamino group may form cyclic amino

optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$

$$R^{6} \xrightarrow{R^{7}} R^{17}$$
 (C)

wherein

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 61 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc)

$$R^{34}$$
 (IIc)

wherein

- (i) R³³ and R³⁴ represent a hydrogen atom,
- (ii) any one of R^{33} and R^{34} represents a hydrogen atom, and the other represents C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,
- (iii) R^{33} and R^{34} , which may be the same or different, represent C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or
- (iv) R³³ and R³⁴ together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and
 - * represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIa)

$$\begin{array}{c}
R^{12} \\
\downarrow \\
M \\
\downarrow \\
R^{11}
\end{array}$$
(IIIa)
$$\begin{array}{c}
R^{8} \\
\downarrow \\
R^{9}
\end{array}$$

- (i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} may be the same or different and represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom, or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (iii) D, E, J, L, and M represent a carbon atom, R^8 , R^9 , and R^{12} represent a hydrogen atom, any one of R^{10} and R^{11} represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may

form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
(V)

wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally

substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or

an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R^8 , R^9 , and R^{12} represent a hydrogen atom, and one of R^{10} and R^{11} represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ alkoxy, $C_{1\text{-}6}$ alkylthio, mono- or di- $C_{1\text{-}6}$ alkylamino in which the di- $C_{1\text{-}6}$ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, $C_{1\text{-}6}$ alkoxy- or aryloxy-carbonyl, $C_{1\text{-}6}$ alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- $C_{1\text{-}6}$ alkylamino in which the di- $C_{1\text{-}6}$ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
(V)

wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C_{1-6} alkyl; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴

represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=0), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group; and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$
(B)

$$R^{6} \xrightarrow{R^{7}} R^{17}$$
 (C)

wherein

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C1-6 alkyl, optionally substituted aryl C₂₋₆ alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 62 (Currently Amended): The compound according to claim 50, wherein A represents formula (IIc)

$$R^{34}$$
 R^{34}
 R^{34}
 R^{34}
 R^{34}
 R^{34}

- (i) R³³ and R³⁴ represent a hydrogen atom,
- (ii) any one of R^{33} and R^{34} represents a hydrogen atom, and the other represents C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms,
- (iii) R^{33} and R^{34} , which may be the same or different, represent C_{1-6} alkyl optionally substituted by mono- or di- C_{1-6} alkylamino, which may form cyclic amino, or a halogen atom, and the cyclic amino group may contain 1 to 3 heteroatoms, or
- (iv) R³³ and R³⁴ together with the carbon atoms to which they are respectively attached form an unsaturated five- to seven-membered carbocyclic ring, and
 - * represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIb) or formula (IIIc)

$$R^{10}$$
 $E-R^9$ (IIIc)

wherein

- (i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R^8 , R^9 , and R^{10} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom, or
- (ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R^8 , R^9 , and R^{10} represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N < X2-R^{14}$$
 $X3-R^{15}$
(V)

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond. R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R15 represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
\hline
NH
\end{array}$$

$$R^{6} \longrightarrow R^{7}$$

$$R^{17}$$
(C)

R⁶ represents a hydrogen atom or C₁₋₆ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 63 (Currently Amended): The compound according to claim 50, wherein A represents formula (IId)

wherein R^{35} and R^{36} represent a hydrogen atom, or any one of R^{35} and R^{36} represents a hydrogen atom and the other represents C_{1-6} alkyl optionally substituted by a halogen atom, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIa)

$$\begin{array}{c}
R^{12} \\
\downarrow \\
M \\
\downarrow \\
R^{11}
\end{array}$$
(IIIa)
$$R^{8} \stackrel{D}{=} E^{10} \\
R^{9}$$

wherein

- (i) D, E, J, L, and M represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (ii) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, any one or two of R^8 , R^9 , R^{10} , R^{11} , and R^{12} may be the same or different and represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom, or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (iii) D, E, J, L, and M represent a carbon atom, R⁸, R⁹, and R¹² represent a hydrogen atom, any one of R¹⁰ and R¹¹ represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N \xrightarrow{X2-R^{14}} (V)$$

wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{14} and R^{15} , which may be the same or different, represent a hydrogen atom; a halogen atom; C_{1-6} alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic

group optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C_{1-6} alkyl groups, they together may form C_{3-7} cycloalkyl; C_{1-6} alkoxy; C_{1-6} alkylthio; mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C_{1-6} alkyl; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C_{1-6} alkoxy- or aryloxy-carbonyl; C_{1-6} alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, C_{1-6} alkylcarbam, or when X3 represents a bond, C_{1-6} alkylcarbam, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form

cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di- C_{1-6} alkylcarbamoylmethyl in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C_{1-6} alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH_2)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom, or

(iv) any one or two of D, E, J, L, and M represent a nitrogen atom, and the others represent a carbon atom, R^8 , R^9 , and R^{12} represent a hydrogen atom, and one of R^{10} and R^{11} represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

wherein

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio,

hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N$$
 $X2-R^{14}$
 $X3-R^{15}$
(V)

wherein

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally

substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R¹⁵ represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or

an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the other represents a hydrogen atom,

Z represents group (A), group (B), or group (C):

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^6 & R^{17} \\
\hline
NH
\end{array}$$

$$R^{6} \downarrow R^{17}$$
(C)

wherein

 R^6 represents a hydrogen atom or $C_{1\text{-}6}$ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 64 (Currently Amended): The compound according to claim 50, wherein A represents formula (IId)

wherein R35 and R36 represent a hydrogen atom, or any one of R35 and R36 represents a hydrogen atom and the other represents C_{1-6} alkyl optionally substituted by a halogen atom, and

* represents a bond to -C(=O)-NH(-Z)

R⁵ represents formula (IIIb) or formula (IIIc)

wherein

- (i) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, any one or two of R^8 , R^9 , and R^{10} , which may be the same or different, represent a halogen atom; hydroxymethyl; C_{1-6} alkyl optionally substituted by a halogen atom; or C_{1-6} alkoxy optionally substituted by a halogen atom, and the others represent a hydrogen atom,
- (ii) D, E, and J represent a carbon atom, G represents an oxygen or sulfur atom, one of R^8 , R^9 , and R^{10} represents a group of formula (IV)

$$-CH_2-Q-X1-R^{13}$$
 (IV)

Q represents an oxygen atom, a sulfur atom, sulfinyl, or sulfonyl,

X1 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

 R^{13} represents a hydrogen atom, a halogen atom, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, aryloxy, arylthio, hydroxyl, carboxyl, $-S(=O)_2(-OH)$, C_{1-6} alkoxy- or aryloxy-carbonyl, C_{1-6} alkylcarbonyl, aryl, or a heterocyclic group optionally substituted by alkyl optionally substituted by mono- or di- C_{1-6} alkylamino in which the di- C_{1-6} alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl;

or a group of formula (V)

$$-CH_2-N < X2-R^{14}$$
 $X3-R^{15}$
(V)

X2 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

X3 represents a bond or straight chain or branched chain alkylene having 1 to 5 carbon atoms,

R¹⁴ and R¹⁵, which may be the same or different, represent a hydrogen atom; a halogen atom; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C_{1-6} alkyl, or C_{1-6} alkyloxy, a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; C₁₋₆ alkylthio; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; arylamino in which the amino group is optionally substituted by C₁₋₆ alkyl; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; aryloxy; arylthio; an oxygen atom (=O); hydroxyl; carboxyl; C₁₋₆ alkoxy- or aryloxy-carbonyl; C₁₋₆ alkylcarbonyl; aryl optionally substituted by a halogen atom or hydroxyl; or a heterocyclic group, provided that, when X2 represents a bond, R¹⁴ represents a hydrogen atom, or when X3 represents a bond, R15 represents a hydrogen atom, or

R¹⁴ and R¹⁵ together with a nitrogen atom to which they are respectively attached to may form a heterocyclic group that may contain 1 to 3 heteroatoms in addition to the nitrogen atom, to which R¹⁴ and R¹⁵ are attached, and is optionally substituted by hydroxyl; C₁₋₆ alkyl optionally substituted by hydroxyl, a halogen atom, aryl optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, or a heterocyclic group optionally substituted by a halogen atom, C₁₋₆ alkyl, or C₁₋₆ alkyloxy, and, when one or two alkyl groups on the amino group and the cyclic amino moiety are substituted by two C₁₋₆ alkyl groups, they together may form C₃₋₇ cycloalkyl; mono- or di-C₁₋₆ alkylamino in which the di-C₁₋₆ alkylamino may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; a saturated or unsaturated five- or six-membered heterocyclic group; mono- or di-C₁₋₆ alkylcarbamoylmethyl in which the di-C₁₋₆ alkylamino group may form cyclic amino optionally containing 1 to 3 heteroatoms, and one or two alkyl groups on the amino group and the cyclic amino moiety are optionally substituted by hydroxyl; phenyl; or an oxygen atom (=O), and, when one carbon atom in the cyclic amino moiety is substituted by two C₁₋₆ alkoxy groups which may be the same or different, the two alkoxy groups together may form group -O-(CH₂)_p-O- wherein p is an integer of 2 to 4, and the cyclic amino group may condense with a monocyclic or bicyclic aromatic carbocyclic ring or a monocyclic or bicyclic aromatic heterocyclic ring to represent a bicyclic or tricyclic heterocyclic group;

and the others represent a hydrogen atom,

Z represents group (A), group (B), or group (C):

Application Serial No. 10/550,857 Reply to Office Action mailed November 27, 2009

$$R^6$$
 R^7 (A)

$$\begin{array}{c|c}
R^7 \\
\hline
R^{17} \\
NH
\end{array}$$
(B)

$$R^{6}
\downarrow R^{17}$$
(C)

wherein

 R^6 represents a hydrogen atom or $C_{1\text{--}6}$ alkyl,

 R^7 represents optionally substituted aryl, optionally substituted aryl C_{1-6} alkyl, optionally substituted aryl C_{2-6} alkenyl, or optionally substituted saturated or unsaturated five-or six-membered heterocyclic group, and

R¹⁷ represents a hydrogen atom.

Claim 65 (Canceled).

Claim 66 (Previously Presented): A pharmaceutical composition comprising as an active ingredient a compound according to claim 50 or a pharmaceutically acceptable salt thereof.

Claims 67-86 (Canceled).

Claim 87 (Previously Presented): A method for preventing or treating a disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically effective, said method comprising the step of administering a therapeutically or prophylactically effective amount of a compound according to claim 50 or a pharmaceutically acceptable salt thereof to a mammal.

Claim 88 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is hyperphosphatemia.

Claim 89 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is renal failure or chronic renal failure.

Claim 90 (Original): The method according to claim 87, wherein the diseases for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective are secondary hyperparathyroidism and primary hyperparathyroidism and diseases related thereto.

Claim 91 (Original): The method according to claim 90, wherein the secondary hyperparathyroidism-related disease is renal osteodystrophy, central or peripheral nervous system damage induced by PTH increase or vitamin D lowering, anemia, myocardiopathy, hyperlipidemia, anomaly of saccharometabolism, pruritus cutaneus, tendon rupture, sexual

dysfunction, muscle damage, skin ischemic ulcer, growth retardation, heart conduction disturbance, pulmonary diffusing impairment, immune deficiency, ostealgia and arthralgia, bone deformity, or fracture.

Claim 92 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is calcium/phosphorus metabolic disorder, for example, metabolic osteopathy.

Claim 93 (Original): The method according to claim 87, wherein the disease for which serum phosphorus lowering action or phosphate transport inhibition is therapeutically or prophylactically effective is a disease for which the suppression of calcium and/or phosphorus product is therapeutically effective.

Claim 94 (Original): The method according to claim 93, wherein the disease for which the suppression of calcium and/or phosphorus product is therapeutically effective is calcification of cardiovascular system in dialysis patients, age-related arterial sclerosis, diabetic vasculopathy, calcification of soft tissue, metastatic calcification, ectopic calcification, red eye, arthralgia, myalgia, pruritus cutaneus, heart conduction disturbance, pulmonary diffusing impairment, angina pectoris, cardiac infarction, or heart failure induced by cardiac murmur or valvular disease.

Claim 95 (Previously Presented): A method for lowering the concentration of serum phosphorus in a blood stream, said method comprising the step of administering a

Reply to Office Action mailed November 27, 2009

therapeutically or prophylactically effective amount of a compound according to claim 50 or

a pharmaceutically acceptable salt thereof to a mammal.

Claim 96 (Previously Presented): A method for inhibiting phosphate transport in

vivo, said method comprising the step of administering a therapeutically or prophylactically

effective amount of a compound according to claim 50 or a pharmaceutically acceptable salt

thereof to a mammal.

83